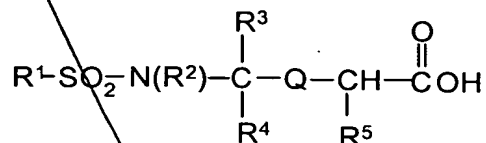


--1. (thrice amended) A compound of formula I:



where

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R⁴ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R⁵ is selected from the group consisting of isopropyl, -CH₂X and =CH-X where X is selected from the group consisting of:

hydrogen,
hydroxyl,
acylamino,
alkyl,
alkoxy,
aryloxy,
aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,

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carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl
substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,
substituted heteroaryl,
heterocyclic,
and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted

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thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$ -alkyl, $-S(O)_2$ -substituted alkyl, $-S(O)_2$ -cycloalkyl, $-S(O)_2$ -substituted cycloalkyl, $-S(O)_2$ -alkenyl, $-S(O)_2$ -substituted alkenyl, $-S(O)_2$ -aryl, $-S(O)_2$ -substituted aryl, $-S(O)_2$ -heteroaryl, $-S(O)_2$ -substituted heteroaryl, $-S(O)_2$ -heterocyclic, $-S(O)_2$ -substituted heterocyclic, $-OS(O)_2$ -alkyl, $-OS(O)_2$ -substituted alkyl, $-OS(O)_2$ -aryl, $-OS(O)_2$ -substituted aryl, $-OS(O)_2$ -heteroaryl, $-OS(O)_2$ -substituted heteroaryl, $-OS(O)_2$ -heterocyclic, $-OS(O)_2$ -substituted heterocyclic, $-OSO_2$ -NRR where R is hydrogen or alkyl, $-NRS(O)_2$ -alkyl, $-NRS(O)_2$ -substituted alkyl, $-NRS(O)_2$ -aryl, $-NRS(O)_2$ -substituted aryl, $-NRS(O)_2$ -heteroaryl, $-NRS(O)_2$ -substituted heteroaryl, $-NRS(O)_2$ -heterocyclic, $-NRS(O)_2$ -substituted heterocyclic, $-NRS(O)_2$ -NR-alkyl, $-NRS(O)_2$ -NR-substituted alkyl, $-NRS(O)_2$ -NR-aryl, $-NRS(O)_2$ -NR-substituted aryl, $-NRS(O)_2$ -NR-heteroaryl, $-NRS(O)_2$ -NR-substituted heteroaryl, $-NRS(O)_2$ -NR-heterocyclic, $-NRS(O)_2$ -NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2$ NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy,

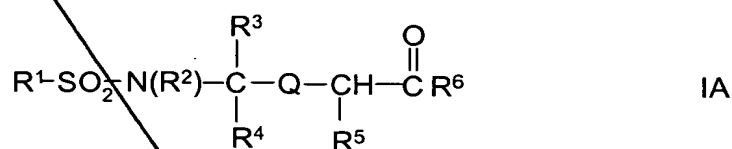
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heterocycloxy, substituted heterocycloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$ -alkyl, $-S(O)_2$ -substituted alkyl, $-S(O)_2$ -cycloalkyl, $-S(O)_2$ -substituted cycloalkyl, $-S(O)_2$ -alkenyl, $-S(O)_2$ -substituted alkenyl, $-S(O)_2$ -aryl, $-S(O)_2$ -substituted aryl, $-S(O)_2$ -heteroaryl, $-S(O)_2$ -substituted heteroaryl, $-S(O)_2$ -heterocyclic, $-S(O)_2$ -substituted heterocyclic, $-OS(O)_2$ -alkyl, $-OS(O)_2$ -substituted alkyl, $-OS(O)_2$ -aryl, $-OS(O)_2$ -substituted aryl, $-OS(O)_2$ -heteroaryl, $-OS(O)_2$ -substituted heteroaryl, $-OS(O)_2$ -heterocyclic, $-OS(O)_2$ -substituted heterocyclic, $-OSO_2$ -NRR where R is hydrogen or alkyl, $-NRS(O)_2$ -alkyl, $-NRS(O)_2$ -substituted alkyl, $-NRS(O)_2$ -aryl, $-NRS(O)_2$ -substituted aryl, $-NRS(O)_2$ -heteroaryl, $-NRS(O)_2$ -substituted heteroaryl, $-NRS(O)_2$ -heterocyclic, $-NRS(O)_2$ -substituted heterocyclic, $-NRS(O)_2$ -NR-alkyl, $-NRS(O)_2$ -NR-substituted alkyl, $-NRS(O)_2$ -NR-aryl, $-NRS(O)_2$ -NR-substituted aryl, $-NRS(O)_2$ -NR-heteroaryl, $-NRS(O)_2$ -NR-substituted heteroaryl, $-NRS(O)_2$ -NR-heterocyclic, $-NRS(O)_2$ -NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; with the proviso that when R^5 is $=CH-X$ then (H) is removed from the formula and X is not hydroxyl;

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Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; or pharmaceutically acceptable salts thereof.

2. (thrice amended) A compound of formula IA below:



where

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R⁴ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R⁵ is selected from the group consisting of isopropyl, -CH₂X and =CH-X where X is selected from the group consisting of:

hydrogen,
hydroxyl,
acylamino,
alkyl,
alkoxy,
aryloxy,

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~~aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic;
cycloalkyl,
substituted alkyl
substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,
substituted heteroaryl,
heterocyclic,
and substituted heterocyclic;~~

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy,

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D1
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substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$ -alkyl, $-S(O)_2$ -substituted alkyl, $-S(O)_2$ -cycloalkyl, $-S(O)_2$ -substituted cycloalkyl, $-S(O)_2$ -alkenyl, $-S(O)_2$ -substituted alkenyl, $-S(O)_2$ -aryl, $-S(O)_2$ -substituted aryl, $-S(O)_2$ -heteroaryl, $-S(O)_2$ -substituted heteroaryl, $-S(O)_2$ -heterocyclic, $-S(O)_2$ -substituted heterocyclic, $-OS(O)_2$ -alkyl, $-OS(O)_2$ -substituted alkyl, $-OS(O)_2$ -aryl, $-OS(O)_2$ -substituted aryl, $-OS(O)_2$ -heteroaryl, $-OS(O)_2$ -substituted heteroaryl, $-OS(O)_2$ -heterocyclic, $-OS(O)_2$ -substituted heterocyclic, $-OSO_2$ -NRR where R is hydrogen or alkyl, $-NRS(O)_2$ -alkyl, $-NRS(O)_2$ -substituted alkyl, $-NRS(O)_2$ -aryl, $-NRS(O)_2$ -substituted aryl, $-NRS(O)_2$ -heteroaryl, $-NRS(O)_2$ -substituted heteroaryl, $-NRS(O)_2$ -heterocyclic, $-NRS(O)_2$ -substituted heterocyclic, $-NRS(O)_2$ -NR-alkyl, $-NRS(O)_2$ -NR-substituted alkyl, $-NRS(O)_2$ -NR-aryl, $-NRS(O)_2$ -NR-substituted aryl, $-NRS(O)_2$ -NR-heteroaryl, $-NRS(O)_2$ -NR-substituted heteroaryl, $-NRS(O)_2$ -NR-heterocyclic, $-NRS(O)_2$ -NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2$ NRR where R is hydrogen or alkyl; and

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substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$ -alkyl, $-S(O)_2$ -substituted alkyl, $-S(O)_2$ -cycloalkyl, $-S(O)_2$ -substituted cycloalkyl, $-S(O)_2$ -alkenyl, $-S(O)_2$ -substituted alkenyl, $-S(O)_2$ -aryl, $-S(O)_2$ -substituted aryl, $-S(O)_2$ -heteroaryl, $-S(O)_2$ -substituted heteroaryl, $-S(O)_2$ -heterocyclic, $-S(O)_2$ -substituted heterocyclic, $-OS(O)_2$ -alkyl, $-OS(O)_2$ -substituted alkyl, $-OS(O)_2$ -aryl, $-OS(O)_2$ -substituted aryl, $-OS(O)_2$ -heteroaryl, $-OS(O)_2$ -substituted heteroaryl, $-OS(O)_2$ -heterocyclic, $-OS(O)_2$ -substituted heterocyclic, $-OSO_2$ -NRR where R is hydrogen or alkyl, $-NRS(O)_2$ -alkyl, $-NRS(O)_2$ -substituted alkyl, $-NRS(O)_2$ -aryl, $-NRS(O)_2$ -substituted aryl, $-NRS(O)_2$ -heteroaryl, $-NRS(O)_2$ -substituted heteroaryl, $-NRS(O)_2$ -heterocyclic, $-NRS(O)_2$ -substituted heterocyclic, $-NRS(O)_2$ -NR-alkyl, $-NRS(O)_2$ -NR-substituted alkyl, $-NRS(O)_2$ -NR-aryl, $-NRS(O)_2$ -NR-substituted aryl, $-NRS(O)_2$ -NR-heteroaryl, $-NRS(O)_2$ -NR-substituted heteroaryl, $-NRS(O)_2$ -NR-heterocyclic, $-NRS(O)_2$ -NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl,

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cont

substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-\text{SO}_2\text{NRR}$ where R is hydrogen or alkyl;

with the proviso that when R^5 is $=\text{CH-X}$ then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, $-\text{O}-(\text{N-succinimidyl})$, $-\text{NH-adamantyl}$, $-\text{O-cholest-5-en-3-}\beta\text{-yl}$, $-\text{NHOY}$ where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, $-\text{NH}(\text{CH}_2)_p\text{COOY}$ where p is an integer of from 1 to 8 and Y is as defined above, $-\text{OCH}_2\text{NR}^9\text{R}^{10}$ where R^9 is selected from the group consisting of $-\text{C}(\text{O})\text{-aryl}$ and $-\text{C}(\text{O})\text{-substituted aryl}$ and R^{10} is selected from the group consisting of hydrogen and $-\text{CH}_2\text{COOR}^{11}$ where R^{11} is alkyl, and $-\text{NHSO}_2\text{Z}$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-\text{C}(\text{X})\text{NR}^7\text{-}$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidiny ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

C2
D2
cont

12. (amended) The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4- $[\phi\text{-CH}(\text{CH}_3)\text{O-}]$ benzyl, 4- $[\phi\text{-CH}(\text{COOH})\text{O-}]$ benzyl, 4- $[\text{BocNHCH}_2\text{C}(\text{O})\text{NH-}]$ benzyl, 4-chlorobenzyl, 4- $[\text{NH}_2\text{CH}_2\text{C}(\text{O})\text{NH-}]$ benzyl, 4-carboxybenzyl, 4- $[\text{CbzNHCH}_2\text{CH}_2\text{NH-}]$ benzyl, 3-hydroxy-4- $[\phi\text{-OC}(\text{O})\text{NH-}]$ benzyl, 4- $[\text{HOOCCH}_2\text{CH}_2\text{C}(\text{O})\text{NH-}]$ benzyl, benzyl, 4- $[\text{2'-carboxylphenoxy-}]$ benzyl,

C2
D2
Cont

4- $[\phi-C(O)NH-]$ benzyl, 3-carboxybenzyl, 4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, $\phi-CH_2CH_2-$, 4-nitrobenzyl, 2-carboxybenzyl, 4-[dibenzylamino]-benzyl, 4- $[(1'-cyclopropylpiperidin-4'-yl)-C(O)NH-]$ benzyl, 4- $[-NHC(O)CH_2NHBoc]$ benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4- $[-NHC(O)CH(CH_3)NHBoc]$ benzyl, 4- $[-NHC(O)CH(CH_2\phi)NHBoc]$ -benzyl, isobutyl, methyl, 4- $[CH_3C(O)NH-]$ benzyl, $-CH_2-(3-indolyl)$, *n*-butyl, *t*-butyl- $OC(O)CH_2-$, *t*-butyl- $OC(O)CH_2CH_2-$, $H_2NC(O)CH_2-$, $H_2NC(O)CH_2CH_2-$, $BocNH-(CH_2)_4-$, *t*-butyl- $OC(O)-(CH_2)_2-$, $HOOCCH_2-$, $HOOC(CH_2)_2-$, $H_2N(CH_2)_4-$, isopropyl, (1-naphthyl)- CH_2- , (2-naphthyl)- CH_2- , (2-thiophenyl)- CH_2- , $\phi-CH_2-OC(O)NH-(CH_2)_4-$, cyclohexyl- CH_2- , benzyloxy- CH_2- , $HOCH_2-$, 5-(3-N-benzyl)imidazolyl- CH_2- , 2-pyridyl- CH_2- , 3-pyridyl- CH_2- , 4-pyridyl- CH_2- , 5-(3-N-methyl)imidazolyl- CH_2- , N-benzylpiperid-4-yl- CH_2- , N-Boc-piperidin-4-yl- CH_2- , N-(phenyl-carbonyl)piperidin-4-yl- CH_2- , $H_3CSCCH_2CH_2-$, 1-N-benzylimidazol-4-yl- CH_2- , *iso*-propyl- $C(O)NH-(CH_2)_4-$, *iso*-butyl- $C(O)NH-(CH_2)_4-$, phenyl- $C(O)NH-(CH_2)_4-$, benzyl- $C(O)NH-(CH_2)_4-$, allyl- $C(O)NH-(CH_2)_4-$, 4-(3-N-methylimidazolyl)- CH_2- , 4-imidazolyl, 4- $[(CH_3)_2NCH_2CH_2CH_2-O-]$ benzyl, 4- $[(benzyl)_2N-]$ -benzyl, 4-aminobenzyl, allyloxy- $C(O)NH(CH_2)_4-$, allyloxy- $C(O)NH(CH_2)_3-$, allyloxy- $C(O)NH(CH_2)_2-$, $NH_2C(O)CH_2-$, $\phi-CH=$, 2-pyridyl- $C(O)NH-(CH_2)_4-$, 4-methylpyrid-3-yl- $C(O)NH-(CH_2)_4-$, 3-methylthien-2-yl- $C(O)NH-(CH_2)_4-$, 2-pyrrolyl- $C(O)NH-(CH_2)_4-$, 2-furanyl- $C(O)NH-(CH_2)_4-$, 4-methylphenyl- SO_2- , $N(CH_3)CH_2C(O)NH(CH_2)_4-$, 4-[cyclopentylacetylenyl]-benzyl, 4- $[-NHC(O)-(N-Boc)-pyrrolidin-2-yl]-$ benzyl, 1-N-methylimidazol-4-yl- CH_2- , 1-N-methylimidazol-5-yl- CH_2- , imidazol-5-yl- CH_2- , 6-methylpyrid-3-yl- $C(O)NH-(CH_2)_4-$, 4- $[-NHC(O)NHCH_2CH_2CH_2-\phi]-$ benzyl, 4- $[-NHC(O)NHCH_2CH_2-\phi]-$ benzyl, $-CH_2C(O)NH(CH_2)_4\phi$, 4- $[\phi(CH_2)_4O-]$ -benzyl, 4- $[-C\equiv C-\phi-4'\phi]-$ benzyl, 4- $[-C\equiv C-CH_2-O-S(O)_2-4'-CH_3-\phi]-$ benzyl, 4- $[-C\equiv C-CH_2NHC(O)NH_2]-$ benzyl, 4- $[-C\equiv C-CH_2-O-4'-COOCH_2CH_3-\phi]-$ benzyl, 4- $[-C\equiv C-CH(NH_2)-cyclohexyl]-$ benzyl, $-(CH_2)_4NHC(O)CH_2-3-indolyl$, $-(CH_2)_4NHC(O)CH_2CH_2-3-indolyl$, $-(CH_2)_4NHC(O)-3-(5-methoxyindolyl)$, $-(CH_2)_4NHC(O)-3-(1-methylindolyl)$, $-(CH_2)_4NHC(O)-4-(-SO_2(CH_3)-\phi)$, $-(CH_2)_4NHC(O)-4-(C(O)CH_3)-phenyl$, $-(CH_2)_4NHC(O)-4-fluorophenyl$, $-(CH_2)_4NHC(O)CH_2O-4-fluorophenyl$, 4- $[-C\equiv C-(2-pyridyl)]$ -benzyl,

C2
Do
cont

4-[-C≡C-CH₂-O-phenyl]-benzyl, 4-[-C≡C-CH₂OCH₃]-benzyl, 4-[-C≡C-(3-hydroxyphenyl)]-benzyl, 4-[-C≡C-CH₂-O-4'-(-C(O)OC₂H₅)phenyl]-benzyl, 4-[-C≡C-CH₂CH(C(O)OCH₃)₂]-benzyl, 4-[-C≡C-CH₂NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl)], 3-aminobenzyl, 4-[-C≡C-CH₂CH(NHC(O)CH₃)C(O)OH]-benzyl, -CH₂C(O)NHCH(CH₃)φ, -CH₂C(O)NHCH₂-(4-dimethylamino)-φ, -CH₂C(O)NHCH₂-4-nitrophenyl, -CH₂CH₂C(O)N(CH₃)CH₂-φ, -CH₂CH₂C(O)NHCH₂CH₂-(N-methyl)-2-pyrrolyl, -CH₂CH₂C(O)NHCH₂CH₂CH₂CH₃, -CH₂CH₂C(O)NHCH₂CH₂-3-indolyl, -CH₂C(O)N(CH₃)CH₂phenyl, -CH₂C(O)NH(CH₂)₂-(N-methyl)-2-pyrrolyl, -CH₂C(O)NHCH₂CH₂CH₂CH₃, -CH₂C(O)NHCH₂CH₂-3-indolyl, -(CH₂)₂C(O)NHCH(CH₃)φ, -(CH₂)₂C(O)NHCH₂-4-dimethylaminophenyl, -(CH₂)₂C(O)NHCH₂-4-nitrophenyl, -CH₂C(O)NH-4-[-NHC(O)CH₃-phenyl], -CH₂C(O)NH-4-pyridyl, -CH₂C(O)NH-4-[dimethylaminophenyl], -CH₂C(O)NH-3-methoxyphenyl, -CH₂CH₂C(O)NH-4-chlorophenyl, -CH₂CH₂C(O)NH-2-pyridyl, -CH₂CH₂C(O)NH-4-methoxyphenyl, -CH₂CH₂C(O)NH-3-pyridyl, 4-[(CH₃)₂NCH₂CH₂O-]-benzyl, -(CH₂)₃NHC(NH)NH-SO₂-4-methylphenyl, 4-[(CH₃)₂NCH₂CH₂O-]-benzyl, -(CH₂)₄NHC(O)NHCH₂CH₃, -(CH₂)₄NHC(O)NH-phenyl, -(CH₂)₄NHC(O)NH-4-methoxyphenyl, 4-[4'-pyridyl-C(O)NH-]-benzyl, 4-[3'-pyridyl-C(O)NH-]-benzyl, 4-[-NHC(O)NH-3'-methylphenyl]-benzyl, 4-[-NHC(O)CH₂NHC(O)NH-3'-methylphenyl]-benzyl, 4-[-NHC(O)-(2',3'-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2',3'-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[-OCH₂CH₂-1'-(4'-pyrimidinyl)-piperazinyl]-benzyl, 4-[-OCH₂CH₂-(1'-piperidinyl)]-benzyl, 4-[-OCH₂CH₂-(1'-pyrrolidinyl)]-benzyl, 4-[-OCH₂CH₂CH₂-(1'-piperidinyl)]-benzyl, -CH₂-3-(1,2,4-triazolyl), 4-[-OCH₂CH₂CH₂-4-(3'-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH₂CH₂N(φ)CH₂CH₃]-benzyl, 4-[-OCH₂-3'-(N-Boc)-piperidinyl]-benzyl, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH₂CH₂O-]-benzyl, 4-[-OCH₂CH₂-(N-morpholinyl)]-benzyl, 4-[-O-(3'-(N-Boc)-piperidinyl)]-benzyl, 4-[-OCH₂CH(NHBoc)CH₂cyclohexyl]-benzyl, *p*-[OCH₂CH₂-(N-piperidinyl)]-benzyl,

C²
D²
cont

4-[-OCH₂CH₂CH₂-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH₂CH₂-(N-homopiperidiny)]-benzyl, 4-[-NHC(O)-3'-(N-Boc)-piperidiny]]-benzyl,
4-[-OCH₂CH₂N(benzyl)₂]-benzyl, -CH₂-2-thiazolyl, 3-hydroxybenzyl,
4-[-OCH₂CH₂CH₂N(CH₃)₂]-benzyl, 4-[-NHC(S)NHCH₂CH₂-(N-morpholino)]-benzyl,
4-[-OCH₂CH₂N(C₂H₅)₂]-benzyl, 4-[-OCH₂CH₂CH₂N(C₂H₅)₂]-benzyl, 4-[CH₃(CH₂)₄NH]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino]-benzyl, 4-[-NHC(O)-4'-piperidiny]]benzyl,
4-[-NHC(O)CH(NHBoc)(CH₂)₄NHCbz]-benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydro-N-Boc-isoquinolin-1'-yl)]-benzyl, p-[-OCH₂CH₂CH₂-1'-(4'-methyl)-piperazinyl]-benzyl,
-(CH₂)₄NH-Boc, 3-[-OCH₂CH₂CH₂N(CH₃)₂]-benzyl, 4-[-OCH₂CH₂CH₂N(CH₃)₂]-benzyl,
3-[-OCH₂CH₂-(1'-pyrrolidiny)]-benzyl, 4-[-OCH₂CH₂CH₂N(CH₃)benzyl]-benzyl,
4-[-NHC(S)NHCH₂CH₂CH₂-(N-morpholino)]-benzyl, 4-[-OCH₂CH₂-(N-morpholino)]-benzyl, 4-[-NHCH₂-(4'-chlorophenyl)]-benzyl, 4-[-NHC(O)NH-(4'-cyanophenyl)]-benzyl,
4-[-OCH₂COOH]-benzyl, 4-[-OCH₂COO-*t*-butyl]-benzyl, 4-[-NHC(O)-5'-fluoroindol-2-yl]-benzyl, 4-[-NHC(S)NH(CH₂)₂-1-piperidiny]]-benzyl, 4-[-N(SO₂CH₃)(CH₂)₃-N(CH₃)₂]-benzyl, 4-[-NHC(O)CH₂CH(C(O)OCH₂φ)-NHCbz]-benzyl, 4-[-NHS(O)₂CF₃]-benzyl,
3-[-O-(N-methylpiperidin-4'-yl)]-benzyl, 4-[-C(=NH)NH₂]-benzyl, 4-[-NHSO₂-CH₂Cl]-benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydroisoquinolin-2'-yl)]-benzyl,
4-[-NHC(S)NH(CH₂)₃-N-morpholino]-benzyl,
4-[-NHC(O)CH(CH₂CH₂CH₂CH₂NH₂)NHBoc]-benzyl, 4-[-C(O)NH₂]-benzyl,
4-[-NHC(O)NH-3'-methoxyphenyl]-benzyl, 4-[-OCH₂CH₂-indol-3'-yl]-benzyl,
4-[-OCH₂C(O)NH-benzyl]-benzyl, 4-[-OCH₂C(O)O-benzyl]-benzyl, 4-[-OCH₂C(O)OH]-benzyl, 4-[-OCH₂-2'-(4',5'-dihydro)imidazolyl]-benzyl, -CH₂C(O)NHCH₂-(4-dimethylamino)phenyl, -CH₂C(O)NHCH₂-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2'-pyrrolidiny]-N-SO₂-4'-methylphenyl]-benzyl, 4-[-NHC(O)NHCH₂CH₂CH₃]-benzyl, [4-aminobenzyl]-benzyl, 4-[-OCH₂CH₂-1-(4-hydroxy-4-(3-methoxypyrrol-2-yl)-piperazinyl]-benzyl, 4-[-O-(N-methylpiperidin-4'-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3'-yl]-benzyl, 4-[-NHC(O)-pyridin-2'-yl]-benzyl, 4-[-NHCH₂-(4'-chlorophenyl)]-benzyl, 4-[-NHC(O)-(N-(4'-CH₃-φ-SO₂)-L-pyrrolidin-2'-yl)]-benzyl,
4-[-NHC(O)NHCH₂CH₂-φ]-benzyl, 4-[-OCH₂C(O)NH₂]-benzyl,

4-[OCH₂C(O)NH-*t*-butyl]-benzyl, 4-[OCH₂CH₂-1-(4-hydroxy-4-phenyl)-piperidiny]-benzyl, 4-[NHSO₂-CH=CH₂]-benzyl, 4-[NHSO₂-CH₂CH₂Cl]-benzyl, -CH₂C(O)NHCH₂CH₂N(CH₃)₂, 4-[(1'-Cbz-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(1'-Boc-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(2'-bromophenyl)C(O)NH-]benzyl, 4-[NHC(O)-pyridin-4'-yl]-benzyl, 4-[(4'-(CH₃)₂NC(O)O-)phenyl]-C(O)NH-]benzyl, 4-[NHC(O)-1'-methylpiperidin-4'-yl]-benzyl, 4-(dimethylamino)benzyl, 4-[NHC(O)-(1'-N-Boc)-piperidin-2'-yl]-benzyl, 3-[NHC(O)-pyridin-4'-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH₂-O-benzyl)-NH-]benzyl, [BocNHCH₂C(O)NH-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[(Et)₂NCH₂CH₂CH₂NHC(S)NH-]benzyl, 4-[(1'-Boc-4'-hydroxypyrrolidin-2'-yl)C(O)NH-]benzyl, 4-[φCH₂CH₂CH₂NHC(S)NH-]benzyl, 4-[(perhydroindolin-2'-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-yl)piperidin-1-yl]ethyl, 4-[(1'-Boc-perhydroindolin-2'-yl)-C(O)NH-]benzyl, 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl]amino]-benzyl, 4-[*N*-vinylsulfonyl]amino]benzyl-, 4-[2-(2-azabicyclo[3.2.2]octan-2-yl)ethyl-O-]benzyl, 4-[4'-hydroxypyrrolidin-2'-yl)C(O)NH-]benzyl, 4-(φNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl, 4-(φCH₂NHC(S)NH)benzyl, 3-[(1'-Boc-piperidin-2'-yl)C(O)NH-]benzyl, 3-[piperidin-2'-yl-C(O)NH-]benzyl, 4-[(3'-Boc-thiazolidin-4'-yl)C(O)NH-]benzyl, 4-(pyridin-3'-yl-NHC(S)NH)benzyl, 4-(CH₃-NHC(S)NH)benzyl-, 4-(H₂NCH₂CH₂CH₂C(O)NH)benzyl, 4-(BocHNCH₂CH₂CH₂C(O)NH)benzyl, 4-(pyridin-4'-yl-CH₂NH)benzyl, 4-[(*N,N*-di(4-*N,N*-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[φCH₂OCH₂(BocHN)CHC(O)NH]benzyl, 4-[(piperidin-4'-yl)C(O)NH-]benzyl, 4-[(pyrrolidin-2'-yl)C(O)NH-]benzyl, 4-(pyridin-3'-yl-C(O)NH)butyl, 4-(pyridin-4'-yl-C(O)NH)butyl, 4-(pyridin-3'-yl-C(O)NH)benzyl, 4-[CH₃NHCH₂CH₂CH₂C(O)NH-]benzyl, 4-[CH₃N(Boc)CH₂CH₂CH₂C(O)NH-]benzyl, 4-(aminomethyl)benzyl, 4-[φCH₂OCH₂(H₂N)CHC(O)NH]benzyl, 4-[(1',4'-di(Boc)piperazin-2'-yl)-C(O)NH-]benzyl, 4-[(piperazin-2'-yl)-C(O)NH-]benzyl, 4-[(*N*-toluenesulfonylpyrrolidin-2'-yl)C(O)NH-]butyl, 4-[NHC(O)-4'-piperidiny]butyl, 4-[NHC(O)-1'-N-Boc-piperidin-2'-yl]-benzyl, 4-[NHC(O)-piperidin-2'-yl]-benzyl, 4-[(1'-N-Boc-2',3'-dihydroindolin-2'-yl)-C(O)NH-]benzyl, 4-(pyridin-3'-yl-CH₂NH)benzyl, 4-[(1'-Cbz-piperidin-4'-yl)C(O)NH-

C2
cont

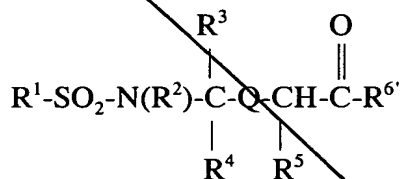
]benzyl, 4-[(piperidin-1'-yl)C(O)CH₂-O-]benzyl, 4-[(CH₃)₂CH)₂NC(O)CH₂-O-]benzyl, 4-[HO(O)C(Cbz-NH)CHCH₂CH₂-C(O)NH-]benzyl, 4-[φCH₂O(O)C(Cbz-NH)CHCH₂CH₂-C(O)NH-]benzyl, 4-[-NHC(O)-2'-methoxyphenyl]-benzyl, 4-[(pyrazin-2'-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH₂)CHCH₂CH₂-C(O)NH-]benzyl, 4-(2'-formyl-1',2',3',4'-tetrahydroisoquinolin-3'-yl-CH₂NH-)benzyl, *N*-Cbz-NHCH₂-, 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl, 4-[CH₃(*N*-Boc)NCH₂C(O)NH-]benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydro-*N*-Boc-isoquinolin-3'-yl)-]benzyl, 4-[CH₃NHCH₂C(O)NH-]benzyl, (CH₃)₂NC(O)CH₂-, 4-(*N*-methylacetamido)benzyl, 4-(1',2',3',4'-tetrahydroisoquinolin-3'-yl-CH₂NH-)benzyl, 4-[(CH₃)₂NHCH₂C(O)NH-]benzyl, (1-toluenesulfonylimidazol-4-yl)methyl, 4-[(1'-Boc-piperidin-4'-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2'-bromophenyl)C(O)NH-]benzyl, 4-[(CH₃)₂NC(O)NH-]benzyl, 4-[CH₃OC(O)NH-]benzyl, 4-[(CH₃)₂NC(O)O-]benzyl, 4-[(CH₃)₂NC(O)N(CH₃)-]benzyl, 4-[CH₃OC(O)N(CH₃)-]benzyl, 4-(*N*-methyltrifluoroacetamido)benzyl, 4-[(1'-methoxycarbonylpiperidin-4'-yl)C(O)NH-]benzyl, 4-[(4'-phenylpiperidin-4'-yl)C(O)NH-]benzyl, 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)NH-]benzyl, 4-[(piperidin-4'-yl)C(O)O-]benzyl, 4-[(1'-methylpiperidin-4'-yl)-O-]benzyl, 4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl, 4-[(4'-methylpiperazin-1'-yl)C(O)NH-]benzyl, 3-[(CH₃)₂NC(O)O-]benzyl, 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl, 4-(*N*-toluenesulfonylamino)benzyl, 4-[(CH₃)₃CC(O)NH-]benzyl, 4-[(morpholin-4'-yl)C(O)NH-]benzyl, 4-[(CH₃CH₂)₂NC(O)NH-]benzyl, 4-[-C(O)NH-(4'-piperidinyl)]benzyl, 4-[(2'-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2'-methylphenyl)C(O)NH-]benzyl, 4-[(CH₃)₂NS(O)₂O-]benzyl, 4-[(pyrrolidin-2'-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1'-yl]benzyl, 4-[(thiomorpholin-4'-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4'-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4'-yl)C(O)O-]benzyl, 3-nitro-4-(CH₃OC(O)CH₂O-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (2*H*-1,4-benzoxazin-3(4*H*)-one-7-yl)methyl-, 4-[(CH₃)₂NS(O)₂NH-]benzyl, 4-[(CH₃)₂NS(O)₂N(CH₃)-]benzyl, 4-[(thiomorpholin-4'-yl)C(O)O-]benzyl, 4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl, 4-[(piperidin-1'-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1'-yl)C(O)O-]benzyl,

C²
D²
cont

4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl, 4-[(2'-methylpyrrolidin-1'-yl)-, (pyridin-4-yl)methyl-, 4-[(piperazin-4'-yl)-C(O)O-]benzyl, 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl, 4-[(4'-acetylpiperazin-1'-yl)C(O)O-]benzyl, *p*-[(4'-methanesulfonylpiperazin-1'-yl)-benzyl, 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl, 4-[[CH₃)₂NC(S)]₂N-}benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[(CH₃)₂NS(O)₂-]benzyl, 4-[(piperidin-1'-yl)C(O)O-]benzyl, 1-*N*-benzyl-imidazol-4-yl-CH₂-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-N(SO₂)(CH₃)CH₂CH₂CH₂N(CH₃)₂]benzyl, 4-[NHC(O)CH(CH₂CH₂CH₂CH₂NH₂)NHBoc]-benzyl, [2'-[4''-hydroxy-4''-(3'''-methoxythien-2'''-yl)piperidin-2''-yl]ethoxy]benzyl, and *p*-[(CH₃)₂NCH₂CH₂N(CH₃)C(O)O-]benzyl.

C³
R³
D³

16. (thrice amended) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R⁴ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Cr 2
D 3
cont
~~R⁵ is selected from the group consisting of isopropyl, -CH₂X and =CH-X where X is selected from the group consisting of:~~

~~hydrogen,
hydroxyl,
acylamino,
alkyl,
alkoxy,
aryloxy,
aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl
substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,~~

substituted heteroaryl,
heterocyclic,
and substituted heterocyclic;

C³
D³
cont
wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocabonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -S(O)₂-alkyl, -S(O)₂-substituted alkyl, -S(O)₂-cycloalkyl, -S(O)₂-substituted cycloalkyl, -S(O)₂-alkenyl, -S(O)₂-substituted alkenyl, -S(O)₂-aryl, -S(O)₂-substituted aryl, -S(O)₂-heteroaryl, -S(O)₂-substituted heteroaryl, -S(O)₂-heterocyclic, -S(O)₂-substituted heterocyclic, -OS(O)₂-alkyl, -OS(O)₂-substituted alkyl, -OS(O)₂-aryl, -OS(O)₂-substituted aryl, -OS(O)₂-heteroaryl, -OS(O)₂-substituted heteroaryl, -OS(O)₂-heterocyclic, -OS(O)₂-substituted heterocyclic, -OSO₂-NRR where R is hydrogen or alkyl, -NRS(O)₂-alkyl, -NRS(O)₂-substituted alkyl, -NRS(O)₂-aryl, -NRS(O)₂-substituted aryl, -NRS(O)₂-heteroaryl, -NRS(O)₂-substituted heteroaryl, -NRS(O)₂-heterocyclic, -NRS(O)₂-substituted heterocyclic, -NRS(O)₂-NR-alkyl, -NRS(O)₂-NR-substituted alkyl, -NRS(O)₂-NR-aryl, -NRS(O)₂-NR-substituted aryl, -NRS(O)₂-NR-heteroaryl, -NRS(O)₂-NR-substituted heteroaryl, -NRS(O)₂-NR-heterocyclic, -NRS(O)₂-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-aryl-amino,

Cr³
D³
cont

mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-\text{SO}_2\text{NRR}$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-\text{S}(\text{O})_2$ -alkyl, $-\text{S}(\text{O})_2$ -substituted alkyl, $-\text{S}(\text{O})_2$ -cycloalkyl, $-\text{S}(\text{O})_2$ -substituted cycloalkyl, $-\text{S}(\text{O})_2$ -alkenyl, $-\text{S}(\text{O})_2$ -substituted alkenyl, $-\text{S}(\text{O})_2$ -aryl, $-\text{S}(\text{O})_2$ -substituted aryl, $-\text{S}(\text{O})_2$ -heteroaryl, $-\text{S}(\text{O})_2$ -substituted heteroaryl, $-\text{S}(\text{O})_2$ -heterocyclic, $-\text{S}(\text{O})_2$ -substituted heterocyclic, $-\text{OS}(\text{O})_2$ -alkyl, $-\text{OS}(\text{O})_2$ -substituted alkyl, $-\text{OS}(\text{O})_2$ -aryl, $-\text{OS}(\text{O})_2$ -substituted aryl, $-\text{OS}(\text{O})_2$ -heteroaryl, $-\text{OS}(\text{O})_2$ -substituted heteroaryl, $-\text{OS}(\text{O})_2$ -heterocyclic, $-\text{OS}(\text{O})_2$ -substituted heterocyclic, $-\text{OSO}_2$ -NRR where R is hydrogen or alkyl, $-\text{NRS}(\text{O})_2$ -alkyl, $-\text{NRS}(\text{O})_2$ -substituted alkyl, $-\text{NRS}(\text{O})_2$ -aryl, $-\text{NRS}(\text{O})_2$ -substituted aryl, $-\text{NRS}(\text{O})_2$ -heteroaryl, $-\text{NRS}(\text{O})_2$ -substituted heteroaryl, $-\text{NRS}(\text{O})_2$ -heterocyclic, $-\text{NRS}(\text{O})_2$ -substituted heterocyclic, $-\text{NRS}(\text{O})_2$ -NR-alkyl, $-\text{NRS}(\text{O})_2$ -NR-substituted alkyl,

C3
D3
cont

~~-NRS(O)₂-NR-aryl, -NRS(O)₂-NR-substituted aryl, -NRS(O)₂-NR-heteroaryl, -NRS(O)₂-NR-substituted heteroaryl, -NRS(O)₂-NR-heterocyclic, -NRS(O)₂-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with -SO₂NRR where R is hydrogen or alkyl; with the proviso that when R⁵ is =CH-X then (H) is removed from the formula and X is not hydroxyl;~~

~~R⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -NH(CH₂)_pCOOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR⁹R¹⁰ where R⁹ is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R¹⁰ is selected from the group consisting of hydrogen and -CH₂COOR¹¹ where R¹¹ is alkyl, and -NHSO₂Z where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;~~

~~Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;~~

~~or pharmaceutically acceptable salts thereof~~

~~with the proviso that~~

~~when R¹ is *p*-methylphenyl, R² and R³ are joined together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ to form a pyrrolidiny ring, R⁴ is methyl, R⁵ is *p*-hydroxybenzyl then R⁶ is not *t*-butoxy.~~

22. (amended) A compound selected from the group consisting of:

C4
N-(toluene-4-sulfonyl)-L- α -methylprolyl-L-phenylalanine;

N-(toluene-4-sulfonyl)-L- α -methylprolyl-L-4-(isonicotinamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-L- α -methylprolyl-L-4-(isonicotinamido)phenylalanine;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenylalanine;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine;

N-(toluene-4-sulfonyl)- α -methylprolyl-D-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine 1-(trimethyacetoxymethyl ester);

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-[N-(2-(*N'*,*N'*-dimethylamino)ethyl)-*N*-methylcarbamyloxy]phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-[N-(2-(*N'*,*N'*-dimethylamino)ethyl)-*N*-methylcarbamyloxy]phenylalanine;

N-(4-fluorobenzenesulfonyl)- α -methylprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenyl-
alanine *tert*-butyl ester;

C4 *N*-(4-fluorobenzenesulfonyl)- α -methylprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenyl-
alanine

or pharmaceutically acceptable salts thereof or any of the ester compounds recited
above wherein one ester group is replaced with another ester group selected from the group
consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester,
isobutyl ester, *sec*-butyl ester and *tert*-butyl ester.
